```
=> b reg
FILE 'REGISTRY' ENTERED AT 18:28:46 ON 05 MAY 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    4 MAY 2008  HIGHEST RN 1019130-28-9
DICTIONARY FILE UPDATES:   4 MAY 2008  HIGHEST RN 1019130-28-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> d que sta l11
L7              STR

Hy^ G1^ Hy
 1   2   3


VAR G1=O/S
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E4 C  E2 N  AT   1
ECOUNT  IS E5 C  E1 N  AT   3

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   3

STEREO ATTRIBUTES: NONE
L9       123413 SEA FILE=REGISTRY ABB=ON  PLU=ON  NCNC3/ES AND NC5/ES
L11        3419 SEA FILE=REGISTRY SUB=L9 SSS FUL L7

100.0% PROCESSED  109454 ITERATIONS                         3419 ANSWERS
SEARCH TIME: 00.00.02



=> b hcap
FILE 'HCAPLUS' ENTERED AT 18:28:56 ON 05 MAY 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
the American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 5 May 2008  VOL 148 ISS 19
FILE LAST UPDATED: 4 May 2008  (20080504/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.
```

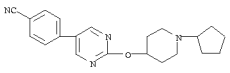
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs hitrn fhitstr l17 tot

L17 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:513745 HCAPLUS
DN 145:1056
TI Treatment of stroke with histamine H3 inverse agonists or histamine H3 antagonists
IN Seabrook, Guy R.; Koblan, Ken S.; Ho, Tony Wei-Hsiu
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2006058023	A2	20060601	2005WO-US042365	20051118
WO--2006058023	A3	20060914		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KE, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP-----1816912	A2	20070815	2005EP-000825428	20051118
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRAI 2004US-00630513P	P	20041123		
2005WO-US042365	W	20051118		

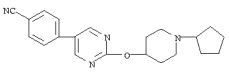
AB Histamine H3 inverse agonists or histamine H3 antagonists are useful, alone or in combination with an anti-stroke agent, for treating stroke. Preparation of histamine H3 inverse agonist 2-(1-cyclopentylpiperidine-4-yloxy)-5-(4-cyanophenyl)pyrimidine is included.
IT 832734-48-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(histamine H3 inverse agonists and histamine H3 antagonists for treatment of stroke, and use with other agents)
IT 832734-48-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(histamine H3 inverse agonists and histamine H3 antagonists for treatment of stroke, and use with other agents)
RN 832734-48-2 HCAPLUS
CN Benzonitrile, 4-[2-[(1-cyclopentyl-4-piperidinyl)oxy]-5-pyrimidinyl]- (CA INDEX NAME)



L17 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:1123759 HCAPLUS
DN 143:379851
TI Treatment of tremor or other movement disorder with histamine H3 inverse agonists or histamine H3 antagonists
IN Marino, Michael J.; Seabrook, Guy R.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2005097111	A2	20051020	2005WO-US0009562	20050322
WO--2005097111	A3	20060427		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP-----1732544	A2	20061220	2005EP-000732633	20050322
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRAI 2004US-00556803P	P	20040326		
2004US-00561188P	P	20040409		
2004US-00629099P	P	20041118		
2005WO-US0009562	W	20050322		

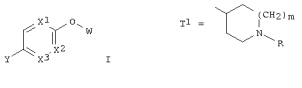
AB Histamine H3 inverse agonists or histamine H3 antagonists are useful, alone or in combination with a neuroleptic agent, for treating or preventing movement disorders, including tremor, such as essential tremor, and tremor associated with Parkinson's disease, cranofacial trauma, multiple sclerosis, stroke, dystonia, and neuropathic, toxic or drug-induced tremor. Preparation and activity of 2-(1-cyclopentylpiperidin-4-yloxy)-5-(4-cyanophenyl)pyrimidine is included.
IT 832734-48-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(histamine h3 inverse agonists or antagonists for treatment of tremor or other movement disorder, and use with other agents)
IT 832734-48-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(histamine h3 inverse agonists or antagonists for treatment of tremor or other movement disorder, and use with other agents)
RN 832734-48-2 HCAPLUS
CN Benzonitrile, 4-[2-[(1-cyclopentyl-4-piperidinyl)oxy]-5-pyrimidinyl]- (CA INDEX NAME)



L17 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:74112 HCAPLUS
DN 142:176868
TI Preparation of heterocyclic compounds as histamine H3 receptor antagonists/inverse agonists
IN Ohtake, Norikazu; Naya, Akira; Haga, Yuji; Jitsuoka, Makoto; Suga, Takuya; Yoshimoto, Ryo; Tokita, Shigeru; Kanatani, Akio
PA Banyu Pharmaceutical Co., Ltd, Japan
SO PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2005007644	A1	20050127	2004WO-JP0009272	20040624
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU--2004257025	A1	20050127	2004AU-000257025	20040624
CA-----2529790	A1	20050127	2004CA-002529790	20040624
EP-----1642898	A1	20060405	2004EP-000746741	20040624
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN-----1812981	A	20060802	2004CN-080018205	20040624
US-20060178375	A1	20060810	2005US-000561115	20051215
PRAI 2003JP-000184879	A	20030627		
2004WO-JP0009272	W	20040624		

OS MARPAT 142:176868
GI



AB The title compds. I [each of X1, X2 and X3 independently represents N or CH; W represents the formula T1, etc.; m = 0 - 3; and Y represents (O)jL1(CO)p(M)iQ1; j, p, i = 0 or 1; L1 = alkylene, single bond; M = O, etc.; Q1 = cyano, etc; R = cyano, etc.] are prepared Thus, 2-(1-cyclopentylpiperidin-4-yloxy)-5-(4-cyanophenyl)pyrimidine was prepared in a multistep process from 2-chloro-5-bromopyrimidine and 1-tert-butoxycarbonyl-4-hydroxypiperidine. In an in vitro assay for inhibition of a histamine analog binding to the H3 receptors, compds. of this invention showed IC50 values of 0.45 nM to 1.9 nM. Processes for preparing I are disclosed. Formulations are given.
IT 832735-10-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heterocyclic compds. as histamine H3 receptor antagonists/inverse agonists)
IT 832734-48-2P 832734-50-6P 832734-57-3P
832734-60-8P 832734-62-0P 832734-64-2P
832734-66-4P 832734-68-6P 832734-70-0P
832734-72-2P 832734-74-4P 832734-76-6P
832734-78-8P 832734-80-2P 832734-82-4P
832734-84-6P 832734-85-7P 832734-86-8P
832734-87-9P 832734-88-0P 832734-89-1P
832734-90-4P 832734-91-5P 832734-92-6P
832734-93-7P 832734-94-8P 832734-95-9P
832734-96-0P 832734-97-1P 832734-98-2P

L17 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
832734-99-3P 832735-00-9P 832735-01-0P
832735-02-1P 832735-03-2P 832735-04-3P
832735-05-4P 832735-06-5P 832735-11-2P
832735-12-3P 832735-13-4P 832735-14-5P
832735-15-6P 832735-16-7P 832735-17-8P
832735-18-9P 832735-19-0P 832735-20-3P
832735-21-4P 832735-22-5P 832735-23-6P
832735-24-7P 832735-25-8P 832735-26-9P
832735-29-2P 832735-31-6P 832735-32-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as histamine H3 receptor antagonists/inverse agonists)
IT 832735-41-8P 832735-42-9P 832735-43-0P
832735-45-2P 832735-46-3P 832735-49-6P
832735-50-9P 832735-51-0P 832735-52-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. as histamine H3 receptor antagonists/inverse agonists)
IT 832735-10-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heterocyclic compds. as histamine H3 receptor antagonists/inverse agonists)
RN 832735-10-1 HCAPLUS
CN Methanone, [2-[(1-cyclopentyl-4-piperidinyl)oxy]-5-pyrimidinyl]-1-piperidinyl- (CA INDEX NAME)

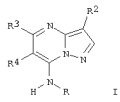
RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> => d bib abs hitstr l25 tot

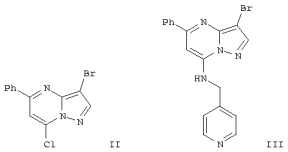
L25 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1395785 HCAPLUS
DN 148:55084
TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.
PA Schering Corporation, USA
SO U.S. Pat. Appl. Publ., 497pp., Cont.-in-part of U.S. Ser. No. 710,644.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 8

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US-20070281951	A1	20071206	2007US-000788856	20070420
CN-----1880317	A	20061220	2006CN-010101322	20030903
US-----7161003	B2	20070109	2003US-000654546	20030903
US-20070037824	A1	20070215		
US-20040209878	A1	20041021	2004US-000776988	20040211
US-----7119200	B2	20061010		
US-20060128725	A1	20060615	2005US-000245401	20051006
US-----7196078	B2	20070327		
ZA---2005001855	A	20060329	2005ZA-000001855	20060117
US-20070225270	A1	20070927	2007US-000710644	20070223
PRAI 2002US-00408027P	P	20020904		
2002US-00421959P	P	20021029		
2003US-000654546	A2	20030903		
2004US-000776988	A2	20040211		
2005US-000245401	A3	20051006		
2007US-000710644	A2	20070223		
2003CN-000824997	A3	20030903		

OS MARPAT 148:55084
GI



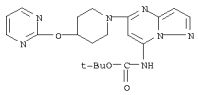
I



II III

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I, alone or in combination with other therapeutic agent, is claimed.

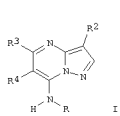
L25 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
IT 891495-37-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)
RN 891495-37-7 HCAPLUS
CN Carbamic acid, N-[5-[4-(2-pyrimidinyloxy)-1-piperidinyl]pyrazolo[1,5-a]pyrimidin-7-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



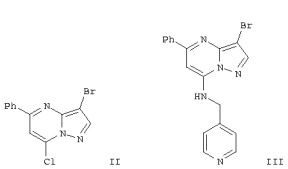
L25 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:579598 HCAPLUS
DN 145:62916
TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.
PA Schering Corporation, USA
SO U.S. Pat. Appl. Publ., 1068 pp., Cont.-in-part of U.S. Ser. No. 776,988.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 8

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US-20060128725	A1	20060615	2005US-000245401	20051006
US-----7196078	B2	20070327		
CN-----1880317	A	20061220	2006CN-010101322	20030903
US-----7161003	B2	20070109	2003US-000654546	20030903
US-20070037824	A1	20070215		
US-20040209878	A1	20041021	2004US-000776988	20040211
US-----7119200	B2	20061010		
ZA---2005001855	A	20060329	2005ZA-000001855	20060117
US-20070072881	A1	20070329	2006US-000542920	20061004
WO---2007044449	A2	20070419	2006WO-US0038939	20061004
WO---2007044449	A3	20070524		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US-20070225270	A1	20070927	2007US-000710644	20070223
US-20070281951	A1	20071206	2007US-000788856	20070420
PRAI 2002US-00408027P	P	20020904		
2002US-00421959P	P	20021029		
2003US-000654546	A2	20030903		
2004US-000776988	A2	20040211		
2003CN-000824997	A3	20030903		
2005US-000245401	A2	20051006		
2007US-000710644	A2	20070223		

OS MARPAT 145:62916
GI



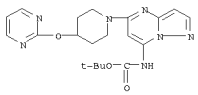
I



II III

L25 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed.
IT 891495-37-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)
RN 891495-37-7 HCAPLUS
CN Carbamic acid, N-[5-[4-(2-pyrimidinyloxy)-1-piperidinyl]pyrazolo[1,5-a]pyrimidin-7-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

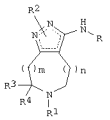


RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:780535 HCAPLUS
DN 141:296039
TI Preparation of bicyclo-pyrazole derivatives active as kinase inhibitors, process for their preparation and pharmaceutical compositions comprising them
IN Abrate, Francesca; Fancelli, Daniele; Varasi, Mario; Villa, Manuela
PA Pharmacia Italia S.p.A., Italy
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2004080457	A1	20040923	2004WO-EP0050237	20040302
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA-----2518395	A1	20040923	2004CA-002518395	20040302
EP-----1608364	A1	20051228	2004EP-000716253	20040302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR--2004008486	A	20060404	2004BR-000008486	20040302
JP--2006519816	T	20060831	2006JP-000505439	20040302
US-20070037790	A1	20070215	2004US-000548332	20040302
MX-2005PA09719	A	20051018	2005MX-PA0009719	20050912
PRAI 2003US-00453885P	P	20030311		
2004WO-EP0050237	W	20040302		

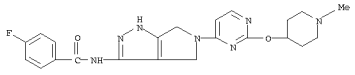
OS MARPAT 141:296039
GI



I

AB Pyrrolo-pyrazole derivs. (I) and pharmaceutically acceptable salts thereof [wherein R = H, COR', CO2R', CONHR', -C(:NH)NHR', SO2R', SO2NR'R"; R1 = optionally substituted and optionally benzocondensed 5 or 6 membered heterocyclic group with from 1 to 3 heteroatoms or heteroat. groups selected from N, NR', O or S; R2 = H, R', COR', CO2R', CONR'R", S(O)qR'; R3 and R4 are both H or Me or, together with the carbon atom to which they are attached, form a cyclopropyl group; R', R'' are, the same or different and independently in each of the above occasions, H or an optionally substituted group selected from straight or branched C1-6 alkyl, C3-6 cycloalkyl, aryl, aryl C1-6 alkyl, heterocyclyl or heterocyclyl-C1-6 alkyl; m, n = 0 or 1, provided that they are not both 1; q = 0 or an integer from 1 to 2] are prepared Also disclosed are the process for their preparation and pharmaceutical compns. thereof. These compds. or compns. are useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity such as cancer, cell proliferative

L25 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
disorders, Alzheimer's disease, viral infections, auto-immune diseases and neurodegenerative disorders. Two representative I compds., 3-(4-tert-butylbenzamido)-5-[(2-propylamino)pyrimidin-4-yl]-4,6-dihydropyrrolo[3,4-c]pyrazole and 3-(4-tert-butylbenzamido)-5-[2-(N-morpholino)pyrimidin-4-yl]-4,6-dihydropyrrolo[3,4-c]pyrazole showed IC50 of 0.221 and 0.341 μM against Cdk2/Cyclin A kinase. Their inhibitory activity resulted to be markedly superior than that of the prior art compds. of WO 02/12242 (ref. compds.), e.g. N-[5-(pyridine-4-carbonyl)-4,6-dihydropyrrolo[3,4-c]pyrazol-3-yl]-4-tert-butylbenzamide (IC50 >10 μM).
IT 761444-67-1P, 3-[(4-Fluorobenzoyl)amino]-5-[2-[(1-methylpiperidin-4-yl)oxy]pyrimidin-4-yl]-4,6-dihydro-1H-pyrrolo[3,4-c]pyrazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclo-pyrazole derivs. active as kinase inhibitors for treating cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases and neurodegenerative disorders)
RN 761444-67-1 HCAPLUS
CN Benzamide, 4-fluoro-N-[1,4,5,6-tetrahydro-5-[2-[(1-methyl-4-piperidinyl)oxy]-4-pyrimidinyl]pyrrolo[3,4-c]pyrazol-3-yl]- (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> => d his

     (FILE 'HOME' ENTERED AT 16:18:58 ON 05 MAY 2008)

     FILE 'HCAPLUS' ENTERED AT 16:19:05 ON 05 MAY 2008
L1             1 US20060178375/PN

     FILE 'REGISTRY' ENTERED AT 16:19:16 ON 05 MAY 2008

     FILE 'HCAPLUS' ENTERED AT 16:19:16 ON 05 MAY 2008
L2             TRA L1 1- RN :      145 TERMS

     FILE 'REGISTRY' ENTERED AT 16:19:16 ON 05 MAY 2008
L3           145 SEA L2
L4            71 L3 AND NCNC3/ES
L5             1 L4 AND NC2NC2/ES
L6            67 L4 AND NC5/ES
L7               STR
L8             0 L7
L9        123413 NCNC3/ES AND NC5/ES
L10           50 L7 SAM SUB=L9
L11         3419 L7 FULL SUB=L9
                 SAV TEM J115C35/A L11
L12            1 PIPERIDINE/CN
L13         1428 46.156.1/RID AND L11
L14         1384 46.195.39/RID AND L13
L15           67 L14 AND L3
L16         1317 L14 NOT L15

     FILE 'HCAPLUS' ENTERED AT 16:27:25 ON 05 MAY 2008
L17            3 L15
L18          129 L16
L19           65 L18 AND (PD<=20030627 OR AD<=20030627 OR PRD<=20030627)
L20           40 L18 AND PD<=20020627
L21           25 L19 NOT L20
                 SEL HIT RN

     FILE 'REGISTRY' ENTERED AT 16:30:09 ON 05 MAY 2008
L22          141 E1-141
L23            4 L22 AND (C13H14N6S2 OR C22H24FN7O2 OR C20H25N7O3)
                 SEL RN 1-2
L24            2 E142-143 AND L23

     FILE 'HCAPLUS' ENTERED AT 19:10:35 ON 05 MAY 2008
L25            3 L24
                 SEL HIT RN L20

     FILE 'REGISTRY' ENTERED AT 19:12:07 ON 05 MAY 2008
L26          116 E144-259

=>
```